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L1                STR
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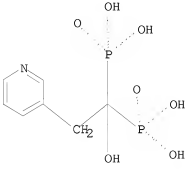


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Structure attributes must be viewed using STN Express query preparation.
L3           62 SEA FILE=REGISTRY SSS FUL L1
L4          926 SEA FILE=CAPLUS L3
L5          244 SEA FILE=CAPLUS L4 AND SODIUM
L6            3 SEA FILE=CAPLUS L5 AND AMORPHOUS


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L6   ANSWER 1 OF 3  CAPLUS  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:         2005:979660  CAPLUS
DOCUMENT NUMBER:          143:292525
TITLE:                    Amorphous forms of risedronate monosodium
INVENTOR(S):              Richer, Jindrich; Jirman, Josef; Petrickova, Hana
PATENT ASSIGNEE(S):       Zentiva, A.S., Czech Rep.
SOURCE:                   PCT Int. Appl., 28 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
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LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005082915	A1	20050909	WO 2005-CZ24	20050228
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CZ 298383	B6	20070912	CZ 2004-292	20040226
CZ 298328	B6	20070829	CZ 2004-798	20040708
CZ 298491	B6	20071017	CZ 2004-880	20040812
EP 1723157	A1	20061122	EP 2005-706666	20050228
EP 1723157	B1	20071128		
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR, LV, YU				
US 20070142332	A1	20070621	US 2006-590694	20060825

PRIORITY APPLN. INFO.:

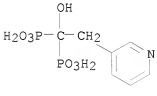
CZ 2004-292	A	20040226
CZ 2004-798	A	20040708
CZ 2004-880	A	20040812
WO 2005-CZ24	W	20050228

AB The monosodium salt of 3-pyridyl-1-hydroxyethylidene-1,1-bisphosphonic acid in new amorphous forms, methods of preparation and a pharmaceutical formulation are disclosed. The amorphous form of risedronate sodium was prepared by drying risedronate pentahydrate at 130° for 5h.

IT 115436-72-1
RL: FMU (Formation, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); FORM (Formation, nonpreparative); USES (Uses)
(amorphous forms of risedronate monosodium)

RN 115436-72-1 CAPLUS

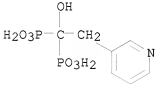
CN Phosphonic acid, P,P'-[1-hydroxy-2-(3-pyridinyl)ethylidene]bis-, sodium salt (1:1) (CA INDEX NAME)



● Na

IT 864160-10-1, Risedronate pentahydrate
RL: PEP (Physical, engineering or chemical process); PYP (Physical

process); PROC (Process)
(amorphous forms of risedronate monosodium)
RN 864160-10-1 CAPLUS
CN Phosphonic acid, [1-hydroxy-2-(3-pyridinyl)ethylidene]bis-, pentahydrate (9CI) (CA INDEX NAME)



●5 H_2O

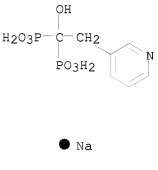
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:975633 CAPLUS
DOCUMENT NUMBER: 143:272509
TITLE: Preparation of amorphous 3-pyridyl-1-hydroxyethylidene-1,1-bisphosphonic acid monosodium salt (sodium risedronate)
INVENTOR(S): Turchetta, Stefano; Massardo, Pietro; Ciambecchini, Umberto
PATENT ASSIGNEE(S): Chemi S.P.A., Italy
SOURCE: Eur. Pat. Appl., 9 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

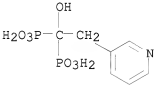
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1571152	A1	20050907	EP 2005-101211	20050218
EP 1571152	B1	20070808		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				
ES 2289650	T3	20080201	ES 2005-101211	20050218
CA 2498177	A1	20050903	CA 2005-2498177	20050224
US 20050215793	A1	20050929	US 2005-68484	20050228
PRIORITY APPLN. INFO.:			IT 2004-MI403 A	20040303
			US 2004-558908P P	20040401

AB A process for the preparation of sodium risedronate in an amorphous form, preferably lyophilized, and its pharmaceutical compns. are described. The amorphous form, characterized by stability and simplicity of preparation and formulation, can be obtained by an industrially applicable lyophilization process, which comprises the steps of: dissolving or suspending risedronic acid in an aqueous solvent, adding one equivalent of a base having sodium as the cation and subjecting the solution to lyophilization. Thus, lyophilized sodium risedronate was mixed with lactose and Mg stearate to give tablets.

IT 115436-72-1P, Sodium risedronate
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amorphous sodium risedronate)
RN 115436-72-1 CAPLUS
CN Phosphonic acid, P,P'-[1-hydroxy-2-(3-pyridinyl)ethylidene]bis-, sodium salt (1:1) (CA INDEX NAME)



IT 105462-24-6, Risedronic acid
RL: RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)
(preparation of amorphous sodium risedronate)
RN 105462-24-6 CAPLUS
CN Phosphonic acid, P,P'-[1-hydroxy-2-(3-pyridinyl)ethylidene]bis- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:612312 CAPLUS
DOCUMENT NUMBER: 143:97528
TITLE: An improved process for the preparation of alkyl- and aryl-substituted α-hydroxy-1,1-ethanediphosphonic acids and salts thereof by solvent-free reaction of carboxylic acids with phosphorous acid and phosphorus oxychloride
INVENTOR(S): Grassi, Simona; Volante, Anna
PATENT ASSIGNEE(S): Lyogen Limited, Cyprus
SOURCE: PCT Int. Appl., 9 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2005063779	A2	20050714	WO 2004-EP14556	20041222
WO 2005063779	A3	20050929		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2551230	A1	20050714	CA 2004-2551230	20041222
EP 1716161	A2	20061102	EP 2004-804152	20041222

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS

US 20070112197	A1	20070517	US 2006-584022	20061025

PRIORITY APPLN. INFO.:

IT 2003-MI2582	A	20031223
IT 2004-MI80	A	20040122
WO 2004-EP14556	W	20041222

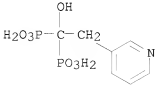
OTHER SOURCE(S): CASREACT 143:97528; MARPAT 143:97528

AB α-Hydroxy-1,1-ethanediphosphonic acids R(CH2)mC(OH)[PO(OH)2]2 [m = 1-8; R = dialkylamino or 5- or 6-membered (hetero)aryl, preferably imidazolyl and pyridinyl], preferably risedronic, zoledronic and ibandronic acids, useful in therapy as inhibitors of bone reabsorption (no data) were prepared by reaction carboxylic acids R(CH2)mCOOH (same m, R) with 2-4 equiv of POCl3 and 8-12 equiv of H3PO3, preferably the carboxylic acid:POCl3:H3PO3 ratio is 1:3:10. In an example, addition of 0.19 mol of POCl3 to a mixture of 0.06 mol of (3-pyridinyl)acetic acid and 0.58 mol of H3PO3 followed by stirring at 60-70° for 24 h with subsequent aqueous work-up gave 1-hydroxy-2-(3-pyridinyl)-1,1-ethanediphosphonic acid (risedronic acid) in 60% yield. Amorphous monosodium salt of 1-hydroxy-2-[(methyl)(pentyl)amino]-1,1-1,1-ethanediphosphonic acid (monosodium ibandronate), useful in the pharmaceutical use due of its increased bioavailability (no data) was prepared by neutralization of 10 g of analogously prepared ibandronic acid in 200 mL of water by 1M NaOH to pH 4.3-4.4 and lyophilization of the resulting solution

IT 105462-24-6P, Risedronic acid
RL: SPN (Synthetic preparation); PREP (Preparation)
(improved process for preparation of α-hydroxy-1,1-ethanediphosphonic acids by solvent-free phosphonation of carboxylic acids by phosphorous acid and phosphorus oxychloride)

RN 105462-24-6 CAPLUS

CN Phosphonic acid, P,P'-[1-hydroxy-2-(3-pyridinyl)ethylidene]bis- (CA INDEX NAME)



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